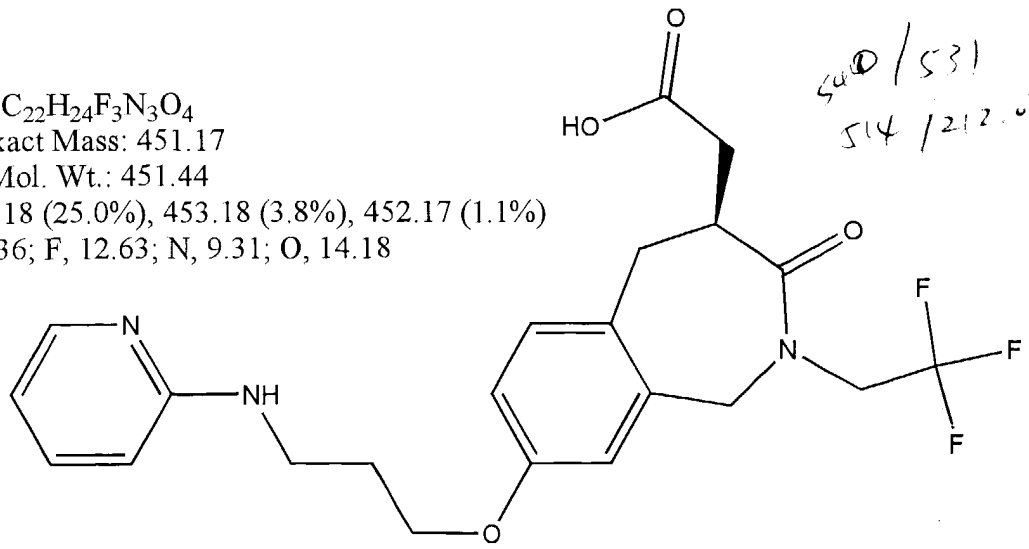
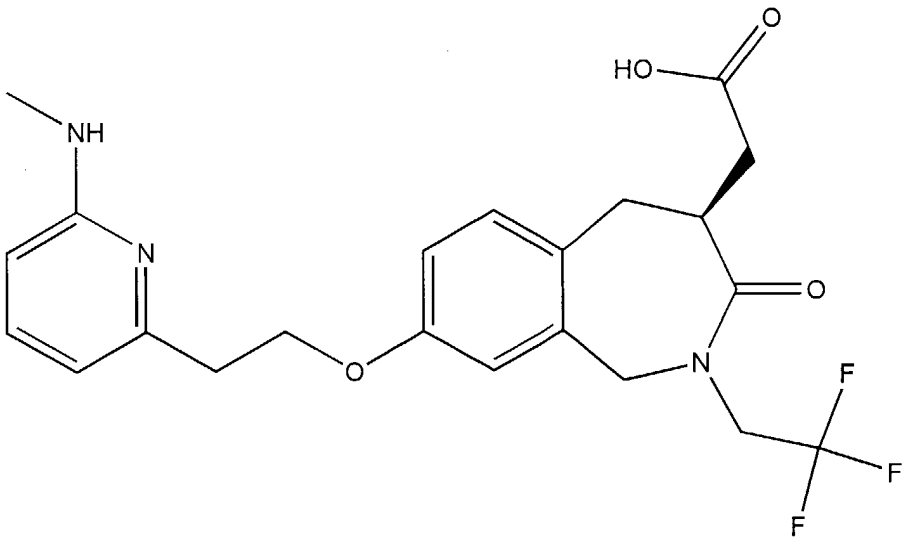
10-691-241

$C_{22}H_{24}F_3N_3O_4$
Exact Mass: 451.17
Mol. Wt.: 451.44
m/e: 451.17 (100.0%), 452.18 (25.0%), 453.18 (3.8%), 452.17 (1.1%)
C, 58.53; H, 5.36; F, 12.63; N, 9.31; O, 14.18



(s)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1h-2-benzazepine-4-acetic acid



(s)-8-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1h-2-benzazepine-4-acetic acid

$C_{22}H_{24}F_3N_3O_4$
Exact Mass: 451.17
Mol. Wt.: 451.44
m/e: 451.17 (100.0%), 452.18 (25.0%), 453.18 (3.8%), 452.17 (1.1%)
C, 58.53; H, 5.36; F, 12.63; N, 9.31; O, 14.18

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FILE 'REGISTRY' ENTERED AT 09:41:12 ON 13 JUL 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   11 JUL 2004  HIGHEST RN 708207-86-7
DICTIONARY FILE UPDATES:  11 JUL 2004  HIGHEST RN 708207-86-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L7                STR
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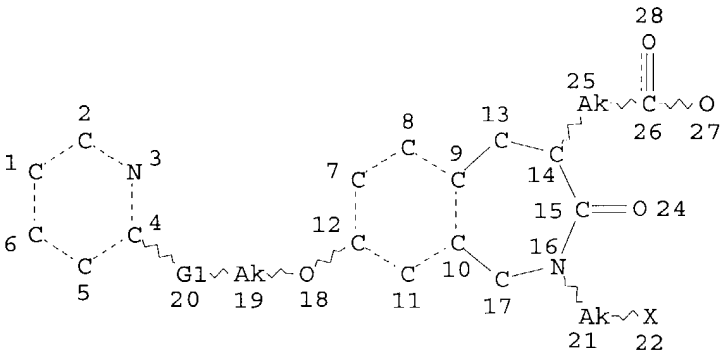


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  27

STEREO ATTRIBUTES: NONE
L9            24 SEA FILE=REGISTRY SSS FUL L7

100.0% PROCESSED   2886 ITERATIONS                    24 ANSWERS
SEARCH TIME: 00.00.01


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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'BIOSIS' ENTERED AT 09:41:25 ON 13 JUL 2004

FILE 'TOXCENTER' ENTERED AT 09:41:25 ON 13 JUL 2004

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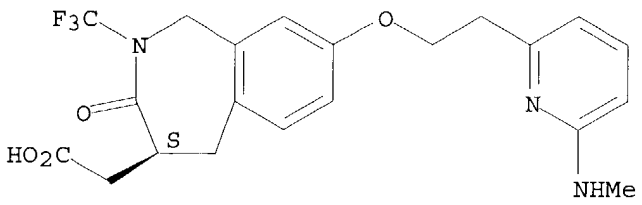
PROCESSING COMPLETED FOR L12
L13 16 DUP REM L12 (6 DUPLICATES REMOVED)
ANSWERS '1-11' FROM FILE CAPLUS
ANSWERS '12-13' FROM FILE USPATFULL
ANSWERS '14-16' FROM FILE BIOSIS

=> d ibib ed abs hitstr 1-13; d iall 14-16

L13 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2003:847388 CAPLUS
DOCUMENT NUMBER: 140:37671
TITLE: Molecular Model of the .alpha.IIb.beta.3 Integrin
AUTHOR(S): Feuston, Bradley P.; Culberson, J. Christopher; Hartman, George D.
CORPORATE SOURCE: Department of Molecular Systems, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(25), 5316-5325
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 30 Oct 2003
AB A mol. model of the .alpha.IIb.beta.3 integrin has been developed utilizing (i) the crystal structure of .alpha.v.beta.3, (ii) homol. model of the .alpha.IIb subdomain, and (iii) the docking of .alpha.IIb.beta.3/.alpha.v.beta.3 dual and selective inhibitors into the putative binding sites of .alpha.IIb.beta.3 and .alpha.v.beta.3. Since the binding sites of these integrins are located at the interface between the two heads of the individual subunits, only the .alpha.IIb.beta.3 head region is modeled. The 3D conformations of two loops in .alpha.IIb, whose residues have been implicated in non-peptide ligand binding, could not be detd. from homol. with .alpha.v alone. Mutagenesis data and the modeling of small ligand binding contributed to the rational design of these loop conformations. The final energy minimized loop conformations exhibit permissible .phi./.psi. angles and contribute to a binding site model of .alpha.IIb.beta.3 that is consistent with both the known mutagenesis studies and inhouse structure-activity relationships. The charged residues .alpha.IIb:E117 and .beta.3:R214 are found to dominate the ligand-protein binding interaction. The previously identified "exosite" is also identified as a hydrogen bond, hydrophobic or .pi.-.pi. interaction with Y190, similar to the recently proposed binding model of .alpha.v.beta.3.
IT **637032-80-5**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(building of mol. model of .alpha.IIb.beta.3 integrin using a known

crystal structure, homol. modeling, and selective inhibitor interaction)
RN 637032-80-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(trifluoromethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

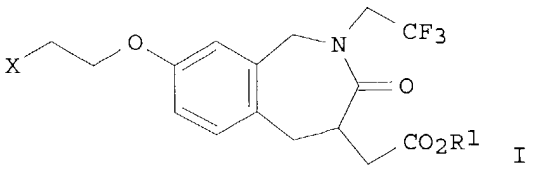


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2002:868905 CAPLUS
DOCUMENT NUMBER: 137:370076
TITLE: Preparation of naphthyridinylethoxybenzazepinones and related compounds as .alpha.v integrin receptor antagonists
INVENTOR(S): Meissner, Robert S.; Coleman, Paul J.; Duggan, Mark E.; Hartman, George D.; Hutchinson, John H.; Wang, Jiabing
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090325	A2	20021114	WO 2002-US13457	20020429
WO 2002090325	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1387688	A2	20040211	EP 2002-725848	20020429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2001-288578P P 20010503
WO 2002-US13457 W 20020429
OTHER SOURCE(S): MARPAT 137:370076
ED Entered STN: 15 Nov 2002
GI



I

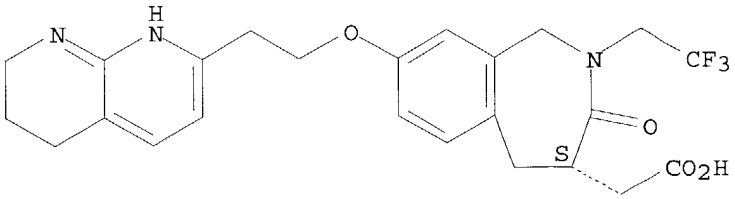
AB Title compds. [I; X = (substituted) tetrahydronaphthyridinyl, pyridoazepinyl, aminopyridinyl; R1 = H, alkyl], were prepd. as antagonists of the integrin receptors .alpha.v.beta.3 and .alpha.v.beta.5 and are therefore useful for inhibiting bone resorption, treating and/or preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammatory arthritis, cancer, and metastatic tumor growth (no data). Thus, 5,6,7,8-tetrahydro-1,8-naphthyridin-2-ylethanol, Me (4S)-3-oxo-8-hydroxy-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepin-4-acetate, Ph3P, and di-Et azodicarboxylate were stirred in THF at 0.degree. to room temp. to give the ether coupling product, which was sapond. with aq. NaOH in dioxane to give (4S)-3-oxo-8-[2-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-benzazepin-4-acetic acid.

IT **475204-25-2P 475204-26-3P 475204-27-4P**
475204-28-5P 475204-29-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of naphthyridinylethoxybenzazepinones and related compds. as .alpha.v integrin receptor antagonists)

RN 475204-25-2 CAPLUS

CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

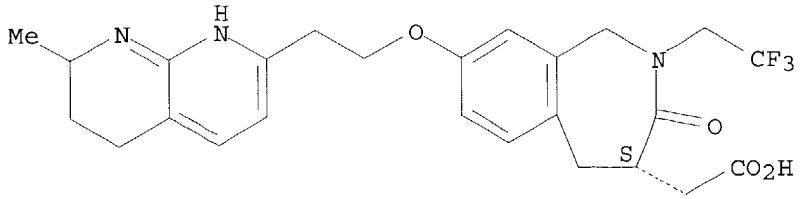
Absolute stereochemistry.



RN 475204-26-3 CAPLUS

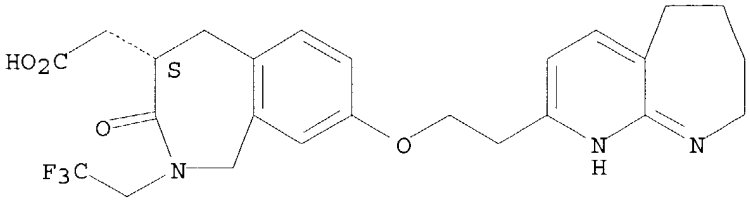
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[2-(1,5,6,7-tetrahydro-7-methyl-1,8-naphthyridin-2-yl)ethoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



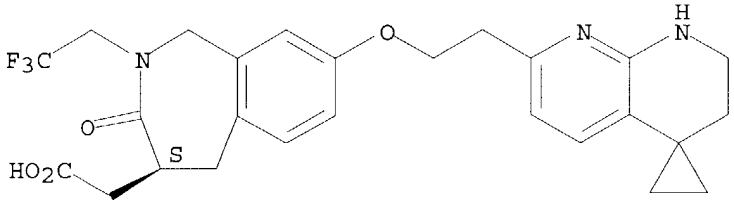
RN 475204-27-4 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[2-(5,6,7,8-tetrahydro-1H-pyrido[2,3-b]azepin-2-yl)ethoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



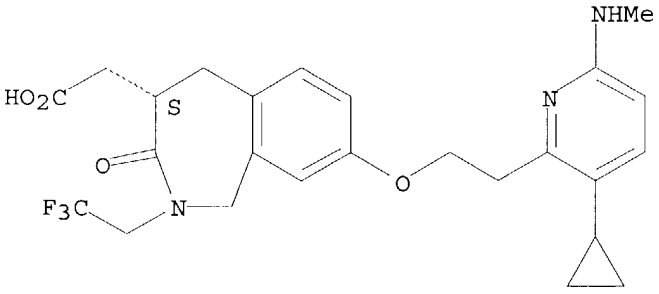
RN 475204-28-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 8-[2-(2',3'-dihydrospiro[cyclopropane-1,4'(1'H)-[1,8]naphthyridin]-7'-yl)ethoxy]-2,3,4,5-tetrahydro-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



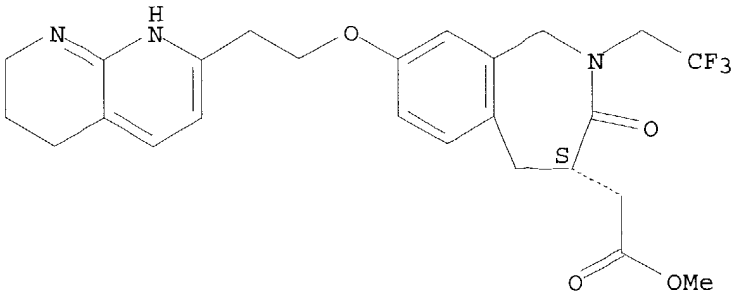
RN 475204-29-6 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 8-[2-[3-cyclopropyl-6-(methylamino)-2-pyridinyl]ethoxy]-2,3,4,5-tetrahydro-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **475204-30-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of naphthyridinylethoxybenzazepinones and related compds. as .alpha.v integrin receptor antagonists)
RN 475204-30-9 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

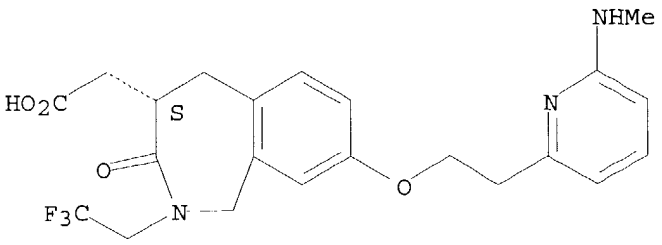
Absolute stereochemistry.



L13 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2002:844382 CAPLUS
DOCUMENT NUMBER: 138:66643
TITLE: Binding Model for Nonpeptide Antagonists of .alpha.v.beta.3 Integrin
AUTHOR(S): Feuston, Bradley P.; Culberson, J. Chris; Duggan, Mark E.; Hartman, George D.; Leu, Chih-Tai; Rodan, Sevgi B.
CORPORATE SOURCE: Departments of Molecular Systems Medicinal Chemistry and Bone Biology Osteoporosis Research, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(26), 5640-5648
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 07 Nov 2002
AB A binding model for nonpeptide antagonists of integrin .alpha.v.beta.3 has been developed through docking analyses utilizing the MMFFs force field and the recently published crystal structure, 1JV2. Results of this docking study have led to the identification of a novel binding model for selective antagonists of .alpha.v.beta.3 over .alpha.IIb.beta.3 integrins. Four different chem. classes are shown to bind in a similar fashion providing a measure of confidence in the proposed model. All .alpha.v.beta.3 and .alpha.IIb.beta.3 antagonists have a basic nitrogen sepd. some distance from a carboxylic acid to mimic RGD. For the .alpha.v.beta.3 antagonists under present consideration, these charged ends are sepd. by twelve bonds. The basic nitrogen of the active .alpha.v.beta.3 ligands are shown to interact with D150 of .alpha.v and the ligands' carboxylic acid interact with R214 of .beta.3 while adopting an extended conformation with minimal protein induced internal strain. In addn., an energetically favorable interaction is found with all of the active .alpha.v.beta.3 mols. with Y178 of .alpha.v when docked to the crystallog. detd. structure. This novel interaction may be characterized as .pi.-.pi. stacking for the most active of the .alpha.v.beta.3 selective antagonists. The proposed model is consistent with obsd. activity as well as mutagenicity and photoaffinity crosslinking studies of the .alpha.v.beta.3 integrin.
IT **205678-31-5**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(binding model for nonpeptide antagonists of .alpha.v.beta.3 integrin)
RN 205678-31-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

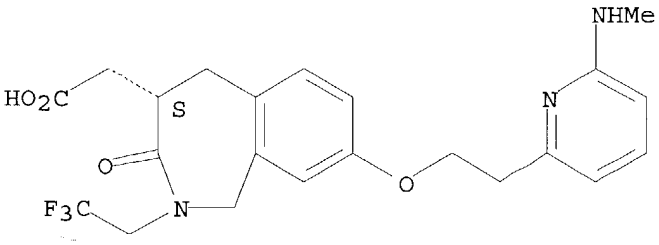
L13 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2002:520405 CAPLUS
DOCUMENT NUMBER: 138:117608
TITLE: Rapid inhibition of thyroxine-induced bone resorption in the rat by an orally active vitronectin receptor antagonist
AUTHOR(S): Hoffman, Sandra J.; Vasko-Moser, Janice; Miller, William H.; Lark, Michael W.; Gowen, Maxine; Stroup, George
CORPORATE SOURCE: Departments of Musculoskeletal Diseases, GlaxoSmithKline, King of Prussia, PA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2002), 302(1), 205-211
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 Jul 2002
AB An excess of thyroid hormone results in increased bone turnover and loss of bone mass in humans. Exogenous administration of thyroid hormone to rats has served as a model of human hyperthyroidism in which antiresorptive therapies have been tested. We have further refined this model of thyroxine (T4)-induced turnover in the rat. Daily administration of T4 to aged rats for as short as 1 wk resulted in elevated bone resorption detd. by significantly higher urinary deoxypyridinoline (Dpd) compared with vehicle controls or animals receiving T4 plus estradiol. Three weeks of daily administration of T4 led to significantly lower bone mineral d. compared with untreated controls or animals receiving T4 plus estradiol. In a follow-up study, a depot formulation of T4 caused an increase in Dpd identical to that achieved with a bolus dose. SB-273005 [(4S)-2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-1H-2-benzazepine-4-acetic acid] a potent antagonist of the integrins .alpha.v.beta.3 and .alpha.v.beta.5, has been shown previously to inhibit bone resorption in cultures of human osteoclasts and to protect bone in ovariectomized rats. The effect of SB-273005 by oral administration was evaluated in this thyroxine-induced turnover model. Dose-dependent inhibition of resorption was seen with SB-273005 after 7 days of dosing using Dpd as a measure of bone resorption. In summary, it has been demonstrated that the antiresorptive activity of a vitronectin receptor antagonist can be measured after only 7 days of treatment in this refined rat model of thyroxine-induced bone turnover. These data suggest that SB-273005 may be useful for the treatment of metabolic bone diseases, including those resulting from hyperthyroidism.
IT **205678-31-5**, SB 273005

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(orally active vitronectin receptor antagonist inhibition of thyroxine-induced bone resorption)

RN 205678-31-5 CAPLUS

CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2001:128172 CAPLUS

DOCUMENT NUMBER: 135:132013

TITLE: Disease-modifying activity of SB 273005, an orally active, nonpeptide .alpha.v.beta.3 (vitronectin receptor) antagonist, in rat adjuvant-induced arthritis

AUTHOR(S): Badger, Alison M.; Blake, Simon; Kapadia, Rasesh; Sarkar, Susanta; Levin, Joshua; Swift, Barbara A.; Hoffman, Sandy J.; Stroup, George B.; Miller, William H.; Gowen, Maxine; Lark, Michael W.

CORPORATE SOURCE: SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA

SOURCE: Arthritis & Rheumatism (2001), 44(1), 128-137
CODEN: ARHEAW; ISSN: 0004-3591

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 21 Feb 2001

AB Objective. To evaluate the effects of SB 273005, a potent, orally active nonpeptide antagonist of the integrin .alpha.v.beta.3 vitronectin receptor, on joint integrity in rats with adjuvant-induced arthritis (AIA). Methods. Male Lewis rats with AIA were orally dosed either prophylactically (days 0-20) or therapeutically (days 10-20) with SB 273005. Efficacy was detd. by measurement of paw inflammation, assessment of bone mineral d. using dual-energy x-ray absorptiometry (DEXA), magnetic resonance imaging (MRI), and histol. evaluation. Results. SB 273005 is a potent antagonist of the closely related integrins, .alpha.v.beta.3 (Ki = 1.2 nM) and .alpha.v.beta.5 (Ki = 0.3 nM). When SB 273005 was administered prophylactically to AIA rats twice per day, it inhibited paw edema at doses of 10, 30, and 60 mg/kg, by 40%, 50%, and 52%, resp. Therapeutic administration twice daily was also effective, and a redn. in paw edema was obsd. at 30 mg/kg and 60 mg/kg of the antagonist (by 36% and 48%, resp.). SB 273005 was also effective when administered once per day, both prophylactically and therapeutically. Significant improvement in joint integrity in treated rats was shown using DEXA and MRI analyses. These findings were confirmed histol., and significant protection of bone,

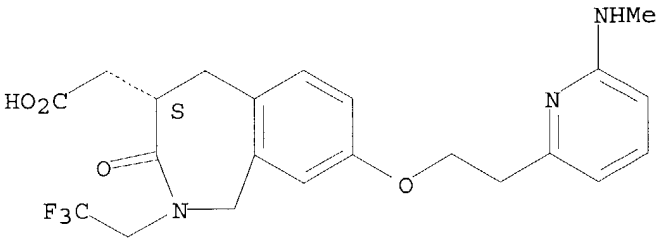
cartilage, and soft tissue was obsd. within the joint. Conclusion. Symptoms of AIA in rats were significantly reduced by either prophylactic or therapeutic treatment with the .alpha.v.beta.3 antagonist, SB 273005. Measurements of paw inflammation and of bone, cartilage, and soft tissue structure indicated that this compd. exerts a protective effect on joint integrity and thus appears to have disease-modifying properties.

IT **205678-31-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SB 273005 disease-modifying activity in rats with adjuvant-induced arthritis)

RN 205678-31-5 CAPLUS

CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 1998:219717 CAPLUS
DOCUMENT NUMBER: 128:282853
TITLE: Oxotetrahydrobenzazepine compounds for vitronectin receptor antagonists
INVENTOR(S): Callahan, James Francis; Cousins, Russell Donovan; Keenan, Richard M.; Kwon, Chet; Miller, William Henry; Uzinkas, Irene Nijole
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9814192	A1	19980409	WO 1997-US18001	19971001
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9708798	A	19980402	ZA 1997-8798	19971001
AU 9747462	A1	19980424	AU 1997-47462	19971001
AU 733417	B2	20010517		

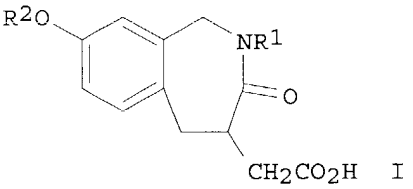
BR 9712248	A	19990824	BR 1997-12248	19971001
EP 957917	A1	19991124	EP 1997-909979	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1238689	A	19991215	CN 1997-180168	19971001
CN 1114403	B	20030716		
NZ 334953	A	20000128	NZ 1997-334953	19971001
JP 2001501936	T2	20010213	JP 1998-516942	19971001
TW 487702	B	20020521	TW 1997-86114545	19980210
NO 9901590	A	19990531	NO 1999-1590	19990331
KR 2000048816	A	20000725	KR 1999-702811	19990401
US 2003125317	A1	20030703	US 2002-320084	20021216
US 2004082559	A1	20040429	US 2003-691241	20031022

PRIORITY APPLN. INFO.:
US 1996-27320P P 19961002
US 1997-43776P P 19970411
WO 1997-US18001 W 19971001
US 1999-269824 B1 19990401
US 2000-668962 B1 20000925
US 2001-973973 A1 20011009
US 2002-320084 A1 20021216

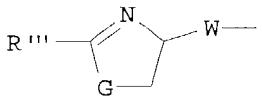
OTHER SOURCE(S): CASREACT 128:282853; MARPAT 128:282853

ED Entered STN: 18 Apr 1998

GI



I



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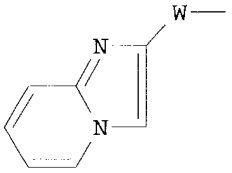
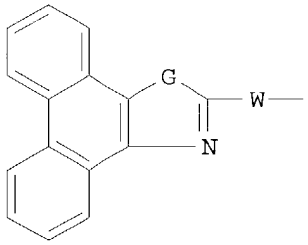


III



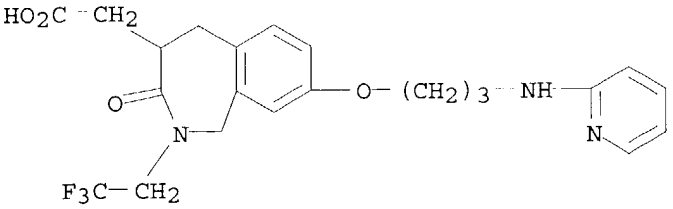
IV

AB The title compds. I [R1 = R7, (un)substituted A-C0-4 alkyl, A-C2-4 alkenyl, A-C2-4 alkynyl, etc.; A = H, C3-6 cycloalkyl, Het or Ar; R7 = COR8, COCR'2R9, etc.; R8 = OR', NR'R'', NR'SO2R', etc.; R9 = OR', CN, COR', etc.; R2 = II, III, IV, etc.; R' = H, C1-6 alkyl, Ar-C0-6 alkyl, C3-6 cycloalkyl-C0-6 alkyl; R'' = R', COR', CO2R'; R''' = H, C1-6 alkyl, Ar-C0-6 alkyl, etc.; W = (CHRg)aU(CHRg)b; U = CO, O, OCO, etc.; G = NRe, S, O; Rg = H, C1-6 alkyl, Het-C0-6 alkyl, etc.; Re = H, C1-6 alkyl, Ar-C0-6 alkyl, etc.; a, b = 0, 1, 2] or a pharmaceutically acceptable salt thereof, are prepd. The compds. are useful in the treatment of osteoporosis, angiogenesis, tumor growth and metastasis, atherosclerosis, restenosis and inflammation. Thus, (.+-.)-8-[3-(2-pyridylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepin-4-acetic acid and its parenteral and oral dosage unit compns. were prepd.

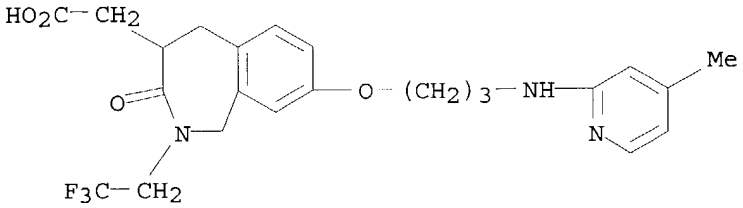
IT **205678-16-6P 205678-24-6P 205678-26-8P**
205678-27-9P 205678-28-0P 205678-31-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(oxotetrahydrobenzazepine compds. for vitronectin receptor antagonists)

RN 205678-16-6 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

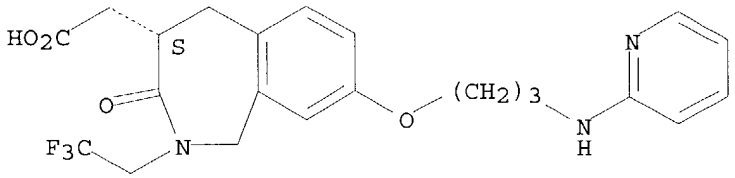


RN 205678-24-6 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



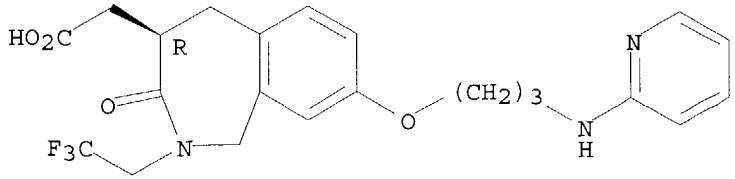
RN 205678-26-8 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 205678-27-9 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4R)- (9CI) (CA INDEX NAME)

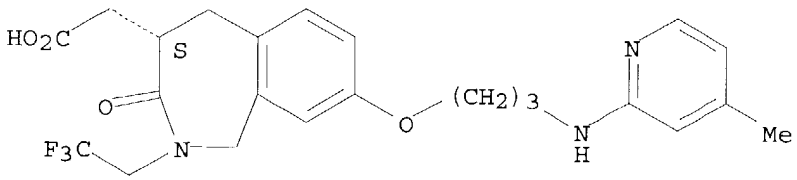
Absolute stereochemistry.



RN 205678-28-0 CAPLUS

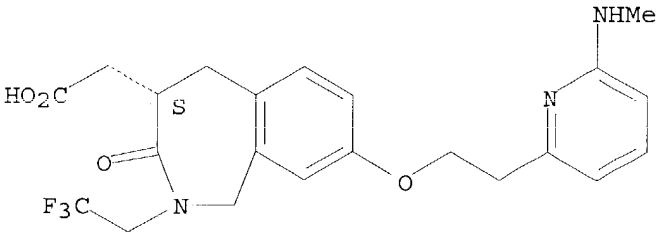
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

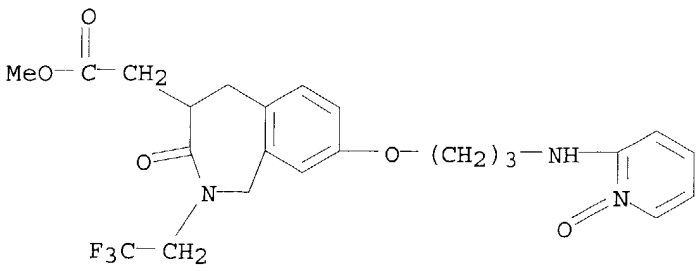


RN 205678-31-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

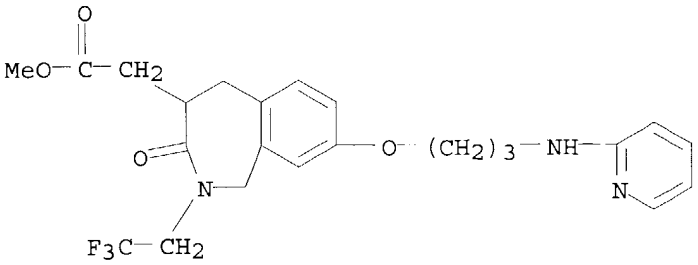
Absolute stereochemistry.



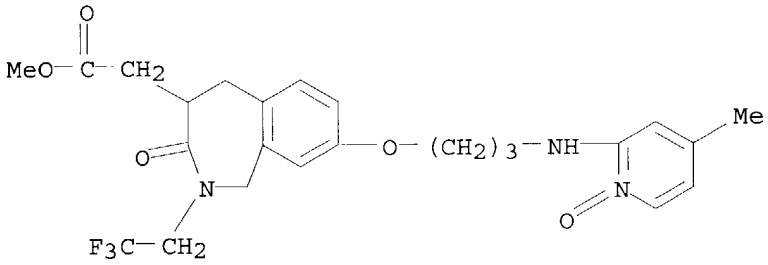
IT **205677-32-3P 205677-33-4P 205677-47-0P**
205677-48-1P 205677-80-1P 205677-81-2P
205677-82-3P 205677-83-4P 205677-84-5P
205677-85-6P 205677-86-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oxotetrahydrobenzazepine compds. for vitronectin receptor antagonists)
RN 205677-32-3 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)



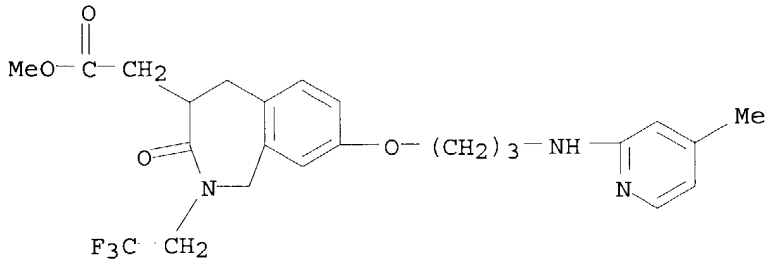
RN 205677-33-4 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 205677-47-0 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)

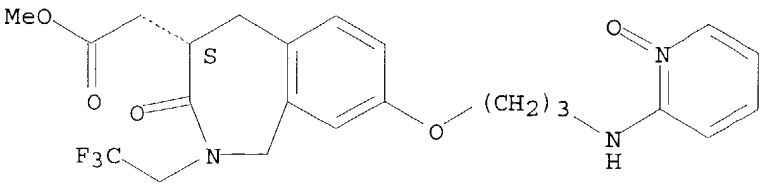


RN 205677-48-1 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)



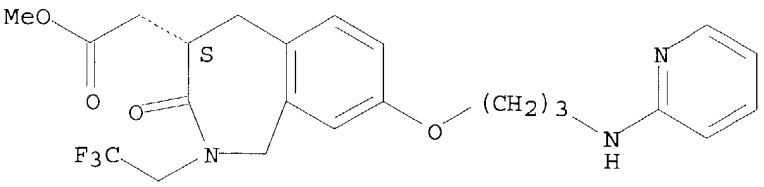
RN 205677-80-1 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



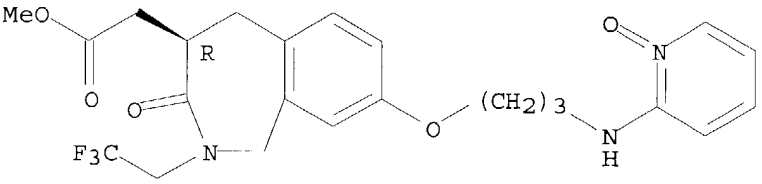
RN 205677-81-2 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



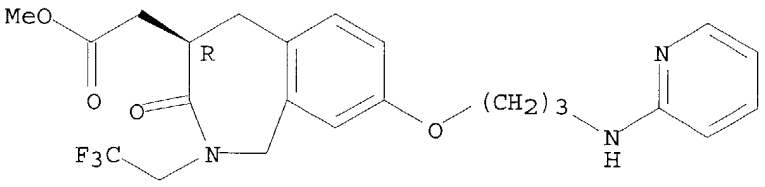
RN 205677-82-3 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



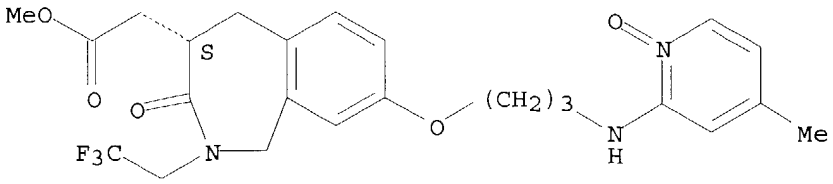
RN 205677-83-4 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



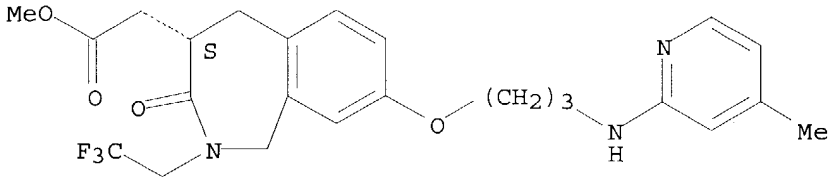
RN 205677-84-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



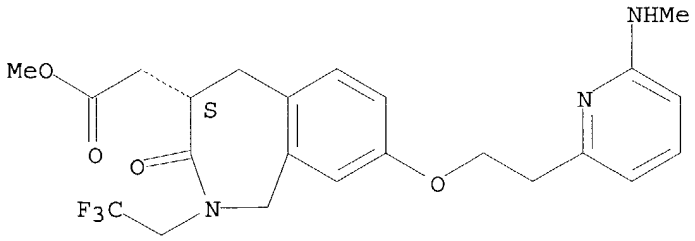
RN 205677-85-6 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205677-86-7 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:319691 CAPLUS
DOCUMENT NUMBER: 138:326535
TITLE: Methods for preventing and treating bone loss in postmenopausal or ovariectomized women with steroid compounds
INVENTOR(S): Di Salle, Enrico; Massimini, Giorgio; Lowery, Colin; Goss, Paul Edward
PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

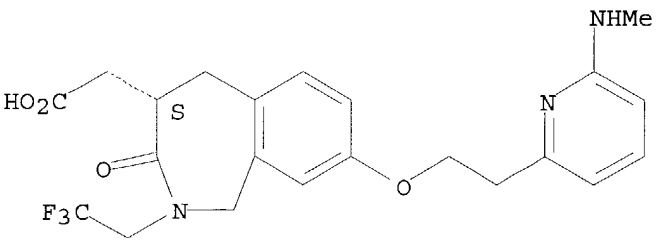
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032961	A2	20030424	WO 2002-EP11123	20020930
WO 2003032961	A3	20030904		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-328209P P 20011010
ED Entered STN: 25 Apr 2003
AB A method of preventing and treating abnormal metabolic bone disorders in a postmenopausal or oophorectomized woman is disclosed, which comprises administering an effective amt. of exemestane or 17-hydro-exemestane, alone or in combination with addnl. therapeutic agents. Further methods for treating bone disorders are claimed, comprising the administration of exemestane or 17-hydro-exemestane simultaneously, sep. or sequentially with an addnl. therapeutic agent selected from the group consisting of a selective estrogen receptor modulator, an .alpha.v.beta.3 inhibitor or antagonist, a vitamin D or vitamin D deriv., sodium fluoride, a COX-2 inhibitor and a biophosphonate compd., or a mixt. thereof.
IT **205678-31-5**, SB-273005
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compds. for preventing and treating bone loss in postmenopausal or ovariectomized women with steroid compds. administered in conjunction with an .alpha.v.beta.3 inhibitor or antagonist)
RN 205678-31-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



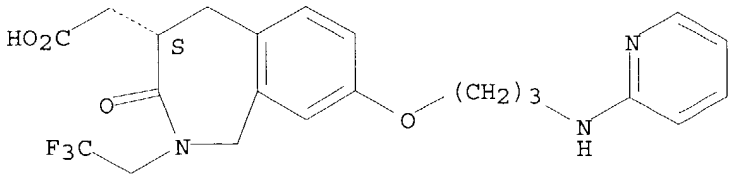
L13 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:813921 CAPLUS
DOCUMENT NUMBER: 137:304827
TITLE: Method of inhibiting adhesion formation
INVENTOR(S): Willette, Robert N.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083125	A1	20021024	WO 2002-US11285	20020410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1385504	A1	20040204	EP 2002-733968	20020410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008789	A	20040309	BR 2002-8789	20020410
NO 2003004513	A	20031204	NO 2003-4513	20031008
PRIORITY APPLN. INFO.:			US 2001-282693P	P 20010410
			WO 2002-US11285	W 20020410

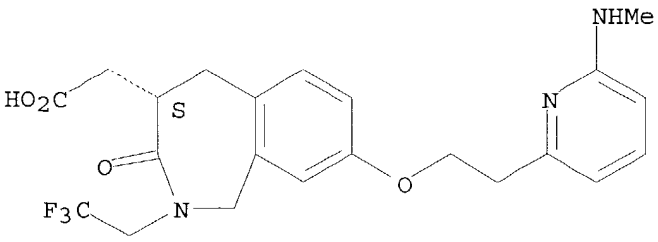
ED Entered STN: 25 Oct 2002
AB The invention discloses the use of a vitronectin receptor antagonist to inhibit adhesion formation.
IT **205678-26-8 205678-31-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method of inhibiting adhesion formation)
RN 205678-26-8 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 205678-31-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

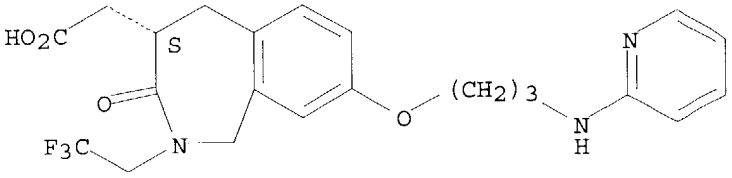
L13 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:115149 CAPLUS
DOCUMENT NUMBER: 134:157565
TITLE: Vitronectin receptor antagonists useful for the treatment of strokes
INVENTOR(S): Barone, Frank C.; Yue, Tian-Li
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010867	A1	20010215	WO 2000-US21433	20000804
W: AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1208101	A1	20020529	EP 2000-952558	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506452	T2	20030218	JP 2001-515676	20000804

PRIORITY APPLN. INFO.: US 1999-147567P P 19990806
WO 2000-US21433 W 20000804

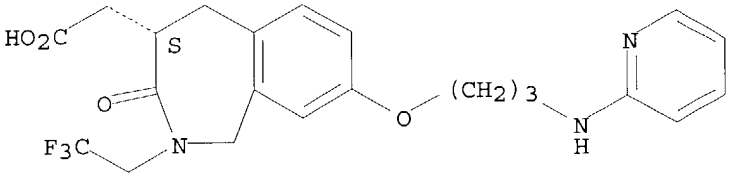
OTHER SOURCE(S): MARPAT 134:157565
ED Entered STN: 15 Feb 2001
AB This invention relates to the use of a vitronectin receptor antagonist to treat stroke. The antagonist is a benzazepine ether.
IT **205678-26-8 205678-26-8D**, pharmaceutically acceptable salts **205678-31-5 205678-31-5D**, pharmaceutically acceptable salts
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vitronectin receptor antagonists useful for treatment of strokes)
RN 205678-26-8 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



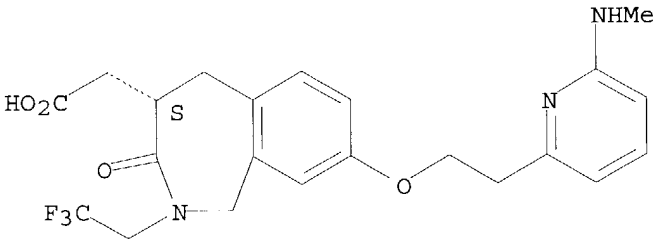
RN 205678-26-8 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



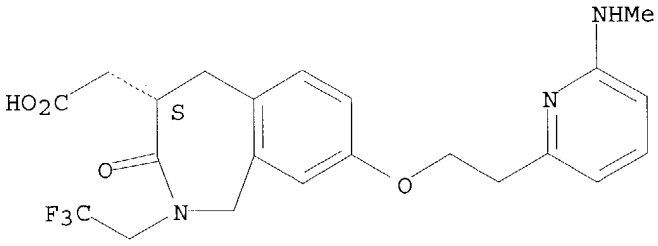
RN 205678-31-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205678-31-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

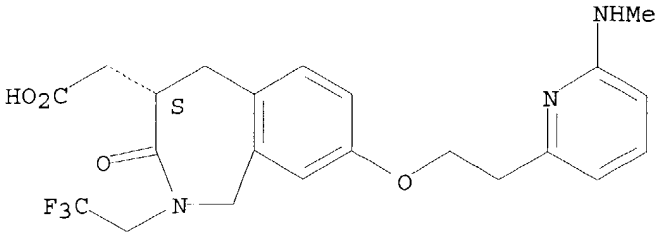
Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

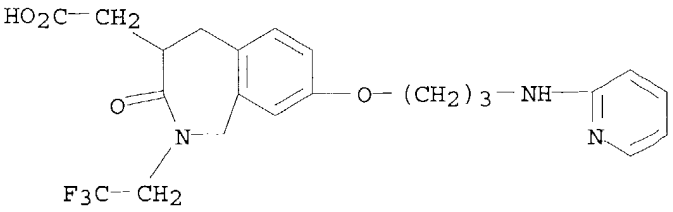
L13 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:93796 CAPLUS
DOCUMENT NUMBER: 135:102507
TITLE: Antagonism of the osteoclast vitronectin receptor with an orally active nonpeptide inhibitor prevents cancellous bone loss in the ovariectomized rat
AUTHOR(S): Lark, Michael W.; Stroup, George B.; Dodds, Robert A.; Kapadia, Rasesh; Hoffman, Sandra J.; Hwang, Shing Mei; James, Ian E.; Lechowska, Beata; Liang, Xiaoguang; Rieman, David J.; Salyers, Kevin L.; Ward, Keith; Smith, Brian R.; Miller, William H.; Huffman, William F.; Gowen, Maxine
CORPORATE SOURCE: Department of Bone and Cartilage Biology, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, USA
SOURCE: Journal of Bone and Mineral Research (2001), 16(2), 319-327
CODEN: JBMREJ; ISSN: 0884-0431
PUBLISHER: American Society for Bone and Mineral Research
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 08 Feb 2001
AB An orally active, nonpeptide Arg-Gly-Asp (RGD) mimetic .alpha.v.beta.3 antagonist, (S)-3-Oxo-8-[2-[6-(methylamino)-pyridin-2-yl]-1-ethoxy]-2-(2,2, 2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid (compd. 1), has been generated, which prevented net bone loss and inhibited cancellous bone turnover in vivo. The compd. binds .alpha.v.beta.3 and the closely related integrin .alpha.v.beta.5 with low nanomolar affinity but binds only weakly to the related integrins .alpha.IIb.beta.3, and .alpha.5.beta.1. Compd. 1 inhibited .alpha.v.beta.3-mediated cell adhesion with an IC50 = 3 nM. More importantly, the compd. inhibited human osteoclast-mediated bone resorption in vitro with an IC50 = 11 nM. In vivo, compd. 1 inhibited bone resorption in a dose-dependent fashion, in the acute thyroparathyroidectomized (TPTX) rat model of bone resorption with a circulating EC50 .apprx.20 .mu.M. When dosed orally at 30 mg/kg twice a day (b.i.d.) in the chronic ovariectomy (OVX)-induced rat model of osteopenia, compd. 1 also prevented bone loss. At doses ranging from 3 to 30 mg/kg b.i.d., compd. 1 partially prevented the OVX-induced increase in urinary deoxypyridinoline. In addn., the compd. prevented the OVX-induced redn. in cancellous bone vol. (BV), trabecular no. (Tb.N), and trabecular thickness (Tb.Th), as assessed by quant. microcomputerized tomog. (.mu.CT) and static histomorphometry. Furthermore, both the 10-mg/kg and 30-mg/kg doses of compd. prevented the OVX-induced increase in bone turnover, as measured by percent osteoid perimeter (%O.Pm). Together, these data indicate that the .alpha.v.beta.3 antagonist compd. 1 inhibits OVX-induced bone loss. Mechanistically, compd. 1 prevents bone loss in vivo by inhibiting osteoclast-mediated bone resorption, ultimately preventing cancellous bone turnover.
IT **205678-31-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(osteoclast vitronectin receptor antagonism with orally active nonpeptide inhibitor prevents cancellous bone loss in ovariectomized rats)
RN 205678-31-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



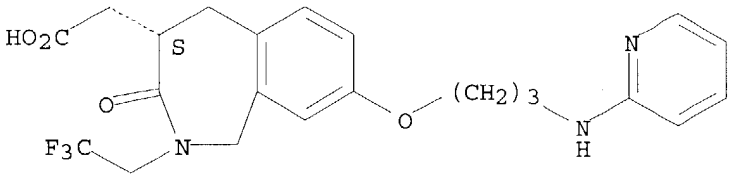
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:807528 CAPLUS
DOCUMENT NUMBER: 132:146616
TITLE: Discovery of Orally Active Nonpeptide Vitronectin Receptor Antagonists Based on a 2-Benzazepine Gly-Asp Mimetic
AUTHOR(S): Miller, William H.; Alberts, Doreen P.; Bhatnagar, Pradip K.; Bondinell, William E.; Callahan, James F.; Calvo, Raul R.; Cousins, Russell D.; Erhard, Karl F.; Heerding, Dirk A.; Keenan, Richard M.; Kwon, Chet; Manley, Peter J.; Newlander, Kenneth A.; Ross, Stephen T.; Samanen, James M.; Uzinskas, Irene N.; Venslavsky, Joseph W.; Yuan, Catherine C.-K.; Haltiwanger, R. Curtis; Gowen, Maxine; Hwang, Shing-Mei; James, Ian E.; Lark, Michael W.; Rieman, David J.; Stroup, George B.; Azzarano, Leonard M.; Salyers, Kevin L.; Smith, Brian R.; Ward, Keith W.; Johanson, Kyung O.; Huffman, William F.
CORPORATE SOURCE: Research & Development Division, SmithKline Beecham Pharmaceuticals, Collegeville, PA, 19426-0989, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(1), 22-26
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 Dec 1999
AB A new series of small mol. RGD mimetics that are highly potent, orally active .alpha.v.beta.3 antagonists is described. Selected members of this series are potent inhibitors of bone resorption in vitro and in vivo and have activity in an animal model of osteoporosis.
IT **205678-16-6P 205678-26-8P 205678-27-9P**
205678-31-5P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(prepn. of orally active nonpeptide vitronectin receptor antagonists based on a 2-benzazepine gly-asp mimetic)
RN 205678-16-6 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



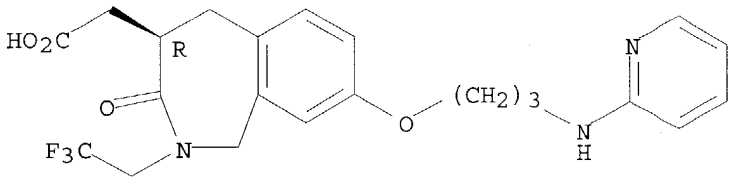
RN 205678-26-8 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



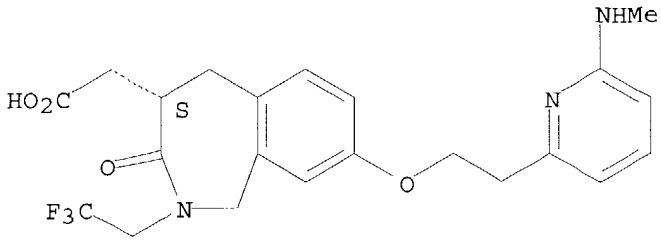
RN 205678-27-9 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205678-31-5 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

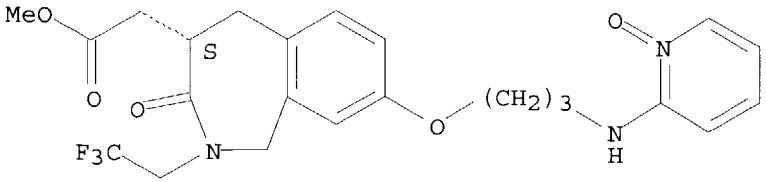


IT **205677-80-1P 205677-81-2P 205677-86-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of orally active nonpeptide vitronectin receptor antagonists based on a 2-benzazepine gly-asp mimetic)

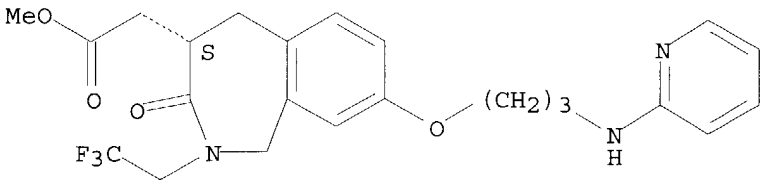
RN 205677-80-1 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



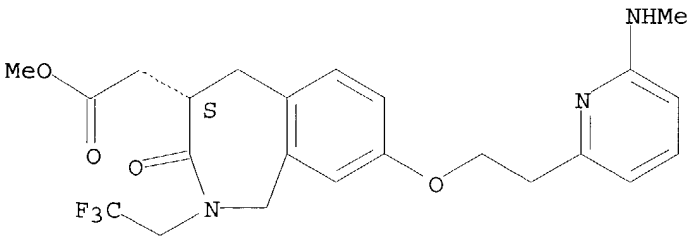
RN 205677-81-2 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205677-86-7 CAPLUS
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2004:108157 USPATFULL
TITLE: Vitronectin receptor antagonists
INVENTOR(S): Callahan, James Francis, Philadelphia, PA, UNITED STATES
Cousins, Russell Donovan, Oxford, PA, UNITED STATES
Keenan, Richard McCulloch, Malvern, PA, UNITED STATES

Kwon, Chet, King of Prussia, PA, UNITED STATES
Miller, William Henry, Schwenksville, PA, UNITED STATES
Uzinskas, Irene Nijole, Villanova, PA, UNITED STATES
PATENT ASSIGNEE(S): SmithKline Beecham Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004082559	A1	20040429
APPLICATION INFO.:	US 2003-691241	A1	20031022 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-320084, filed on 16 Dec 2002, PENDING Continuation of Ser. No. US 2001-973973, filed on 9 Oct 2001, ABANDONED Continuation of Ser. No. US 2000-668962, filed on 25 Sep 2000, ABANDONED Continuation of Ser. No. US 1999-269824, filed on 1 Apr 1999, ABANDONED A 371 of International Ser. No. WO 1997-US18001, filed on 1 Oct 1997, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-43776P	19970411 (60)
	US 1996-27320P	19961002 (60)

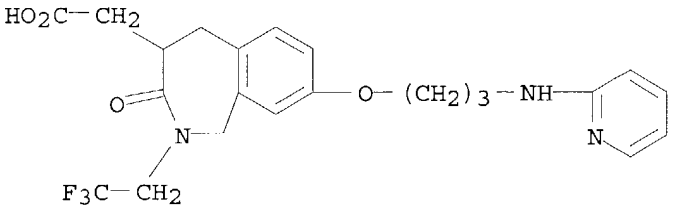
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: GLAXOSMITHKLINE, Corporate Intellectual Property - UW2220, P.O. Box 1539, King of Prussia, PA, 19406-0939
NUMBER OF CLAIMS: 55
EXEMPLARY CLAIM: 1
LINE COUNT: 4617
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having a benzodiazepinyl core structure are disclosed which are vitronectin receptor antagonists useful in the treatment of osteoporosis, angiogenesis, tumor growth and metastasis, atherosclerosis, restenosis and inflammation.

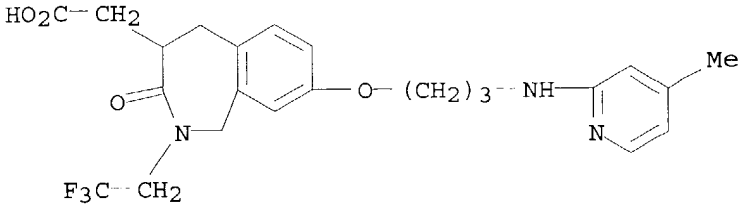
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **205678-16-6P 205678-24-6P 205678-26-8P 205678-27-9P 205678-28-0P 205678-31-5P**
(oxotetrahydrobenzazepine compds. for vitronectin receptor antagonists)

RN 205678-16-6 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

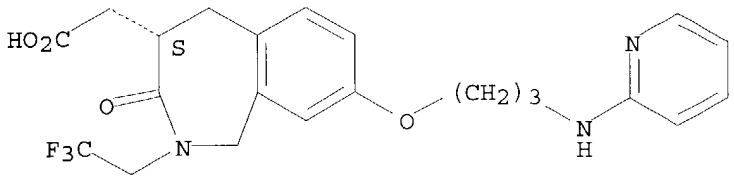


RN 205678-24-6 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



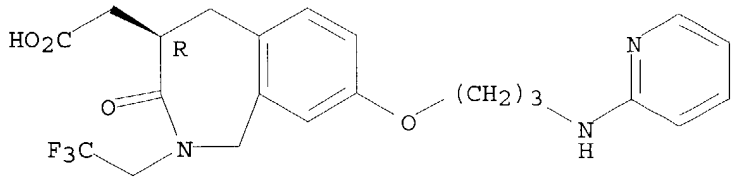
RN 205678-26-8 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



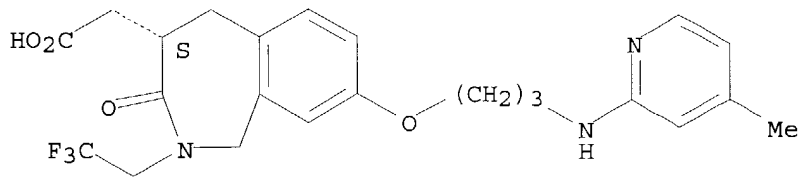
RN 205678-27-9 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



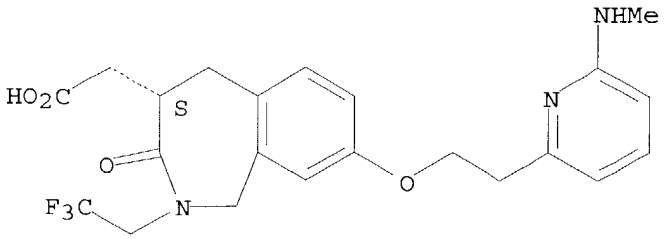
RN 205678-28-0 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205678-31-5 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

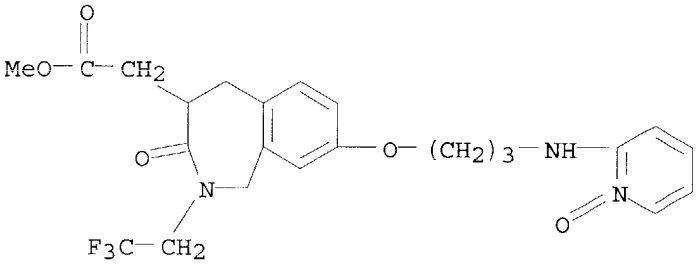
Absolute stereochemistry.



IT **205677-32-3P 205677-33-4P 205677-47-0P**
205677-48-1P 205677-80-1P 205677-81-2P
205677-82-3P 205677-83-4P 205677-84-5P
205677-85-6P 205677-86-7P
(oxotetrahydrobenzazepine compds. for vitronectin receptor antagonists)

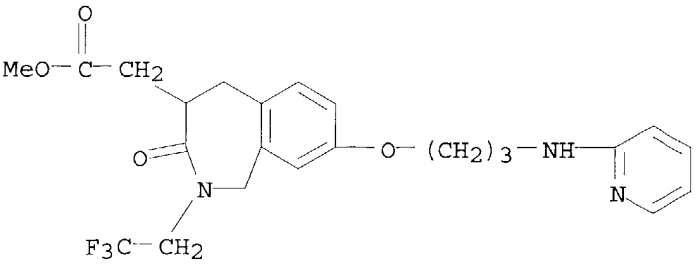
RN 205677-32-3 USPATFULL

CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)



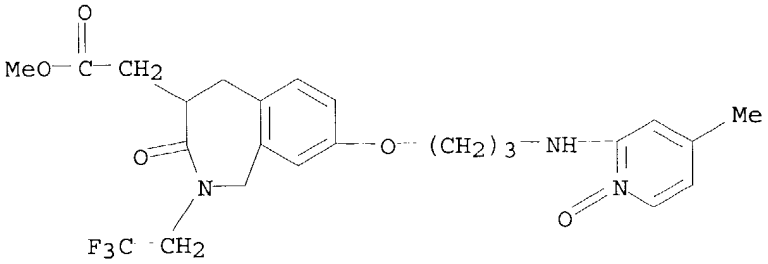
RN 205677-33-4 USPATFULL

CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)

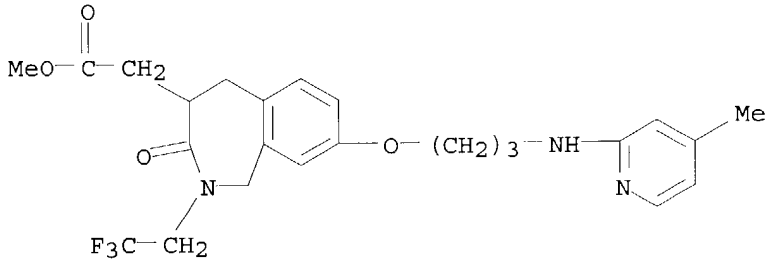


RN 205677-47-0 USPATFULL

CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)

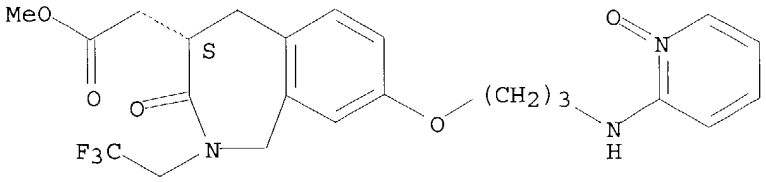


RN 205677-48-1 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)



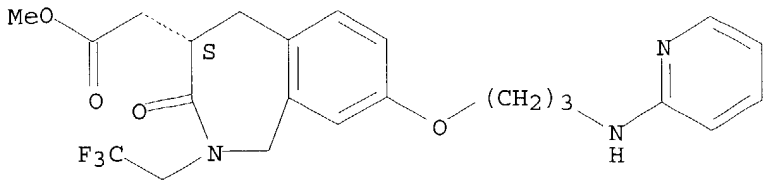
RN 205677-80-1 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



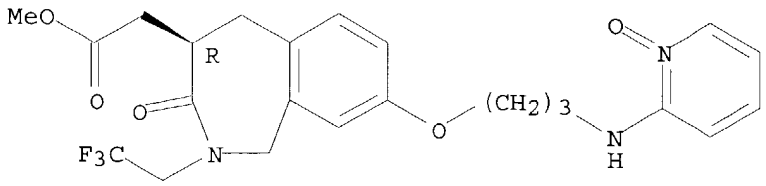
RN 205677-81-2 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



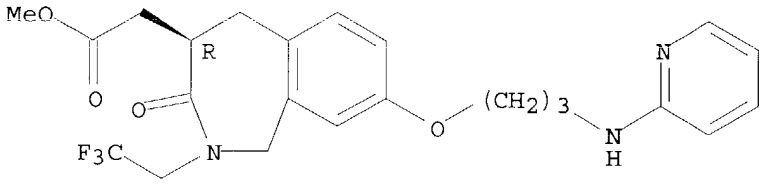
RN 205677-82-3 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



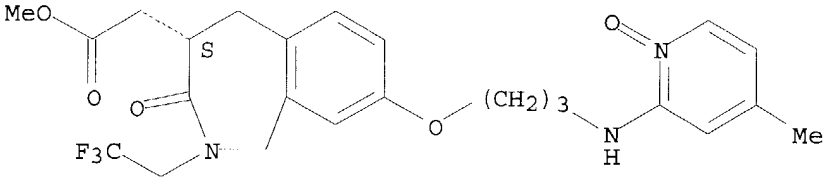
RN 205677-83-4 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



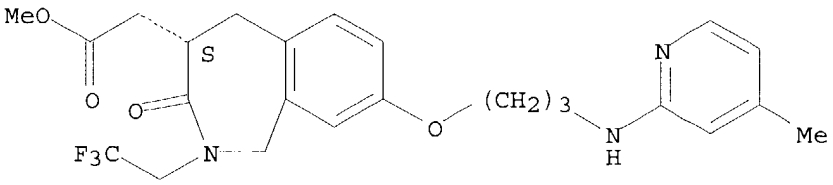
RN 205677-84-5 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



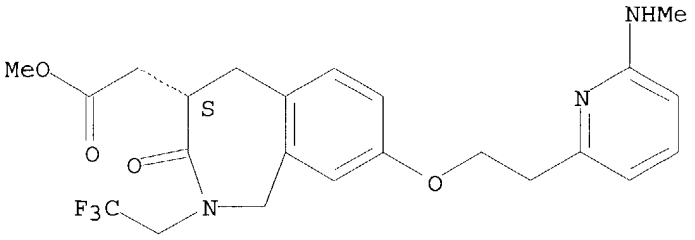
RN 205677-85-6 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205677-86-7 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 13 OF 16 USPATFULL on STN

ACCESSION NUMBER:	2003:181484 USPATFULL
TITLE:	Vitronectin receptor antagonists
INVENTOR(S):	Callahan, James Francis, Philadelphia, PA, UNITED STATES Cousins, Russell Donovan, Oxford, PA, UNITED STATES Keenan, Richard McCulloch, Malvern, PA, UNITED STATES Kwon, Chet, King of Prussia, PA, UNITED STATES Miller, William Henry, Schwenksville, PA, UNITED STATES Uzinskas, Irene Nijole, Villanova, PA, UNITED STATES
PATENT ASSIGNEE(S):	SmithKline Beecham Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003125317	A1	20030703
APPLICATION INFO.:	US 2002-320084	A1	20021216 (10)

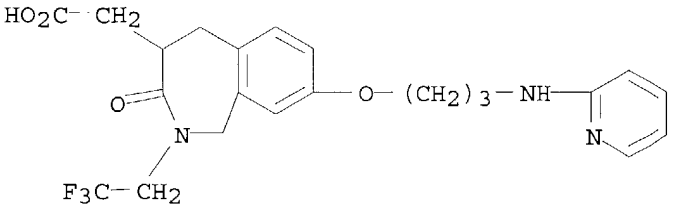
RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-973973, filed on 9 Oct 2001, PENDING Continuation of Ser. No. US 2000-668962, filed on 25 Sep 2000, ABANDONED Continuation of Ser. No. US 1999-269824, filed on 1 Apr 1999, ABANDONED A 371 of International Ser. No. WO 1997-US18001, filed on 1 Oct 1997, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-43776P	19970411 (60)
	US 1996-27320P	19961002 (60)

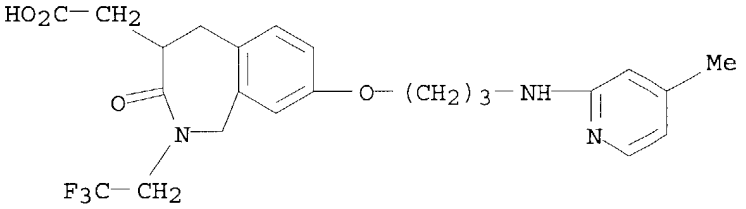
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	GLAXOSMITHKLINE, Corporate Intellectual Property - UW2220, P.O. Box 1539, King of Prussia, PA, 19406-0939
NUMBER OF CLAIMS:	55
EXEMPLARY CLAIM:	1

LINE COUNT: 4617
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds having a benzodiazepinyl core structure are disclosed which are vitronectin receptor antagonists useful in the treatment of osteoporosis, angiogenesis, tumor growth and metastasis, atherosclerosis, restenosis and inflammation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **205678-16-6P 205678-24-6P 205678-26-8P**
205678-27-9P 205678-28-0P 205678-31-5P
(oxotetrahydrobenzazepine compds. for vitronectin receptor antagonists)
RN 205678-16-6 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

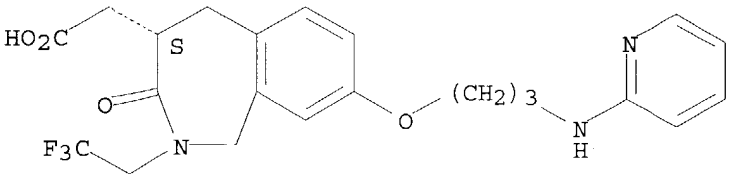


RN 205678-24-6 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



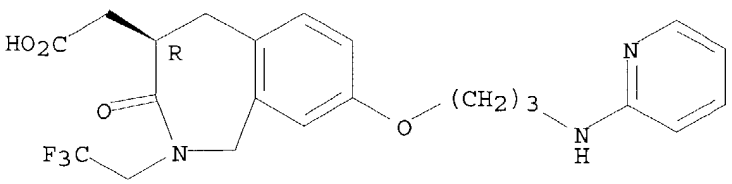
RN 205678-26-8 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



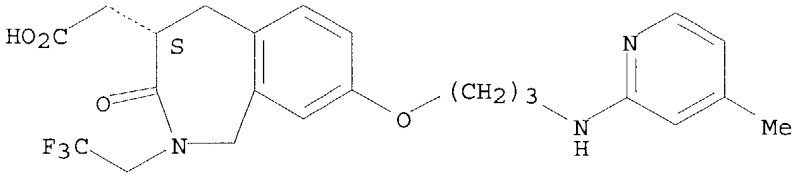
RN 205678-27-9 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



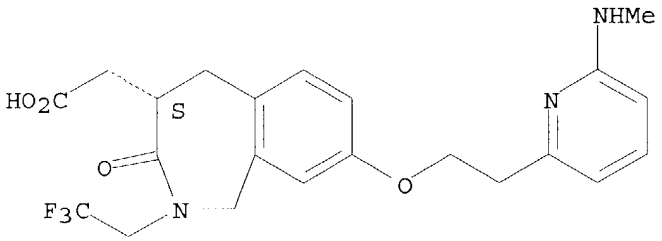
RN 205678-28-0 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

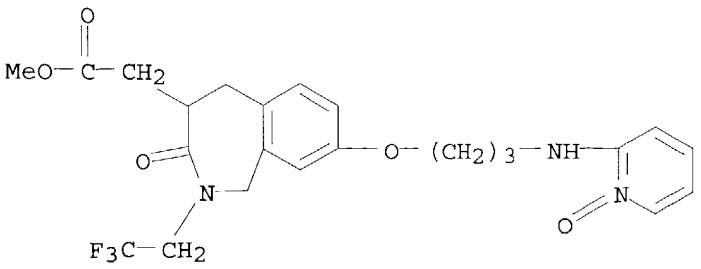


RN 205678-31-5 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)

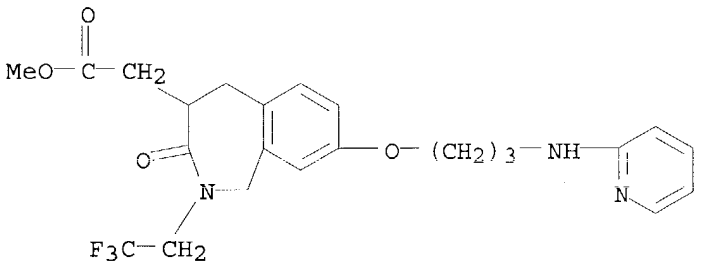
Absolute stereochemistry.



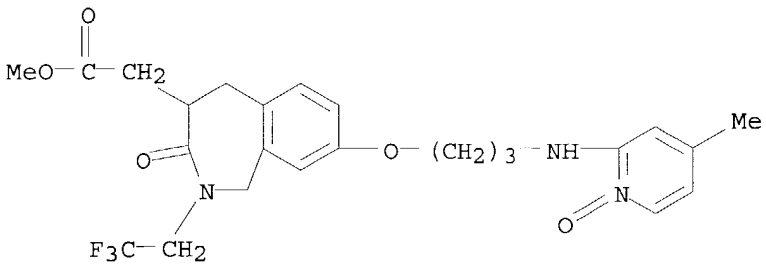
IT **205677-32-3P 205677-33-4P 205677-47-0P**
205677-48-1P 205677-80-1P 205677-81-2P
205677-82-3P 205677-83-4P 205677-84-5P
205677-85-6P 205677-86-7P
(oxotetrahydrobenzazepine compds. for vitronectin receptor antagonists)
RN 205677-32-3 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)



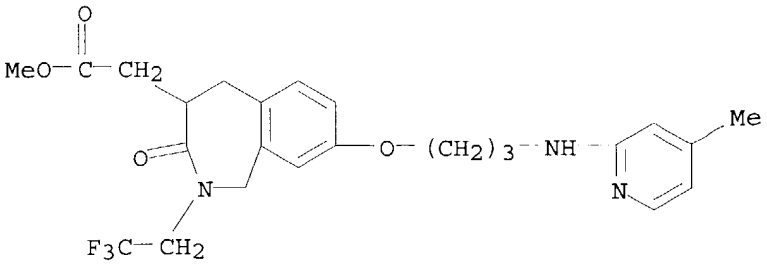
RN 205677-33-4 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 205677-47-0 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)

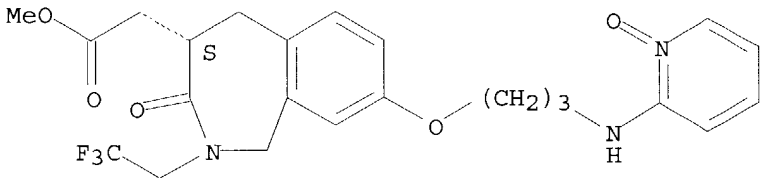


RN 205677-48-1 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester (9CI) (CA INDEX NAME)



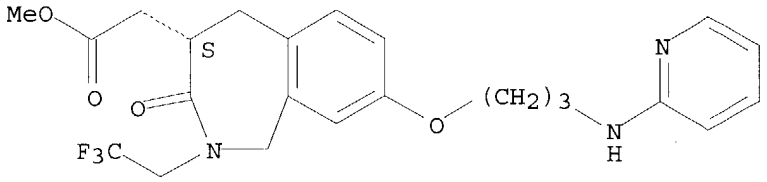
RN 205677-80-1 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



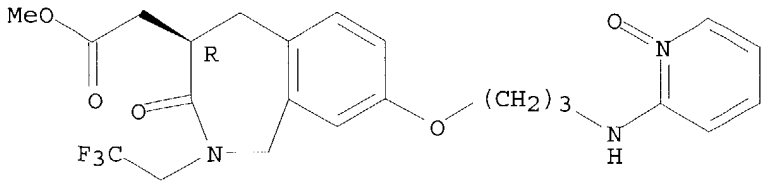
RN 205677-81-2 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205677-82-3 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (R)- (9CI) (CA INDEX NAME)

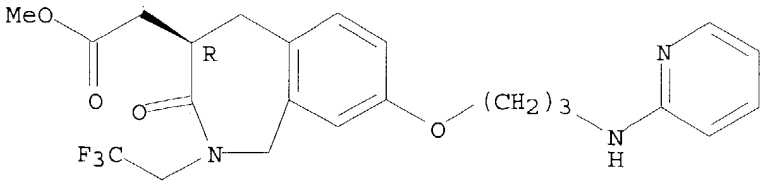
Absolute stereochemistry.



RN 205677-83-4 USPATFULL

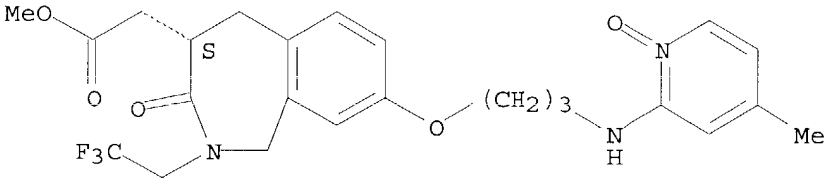
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-3-oxo-8-[3-(2-pyridinylamino)propoxy]-2-(2,2,2-trifluoroethyl)-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



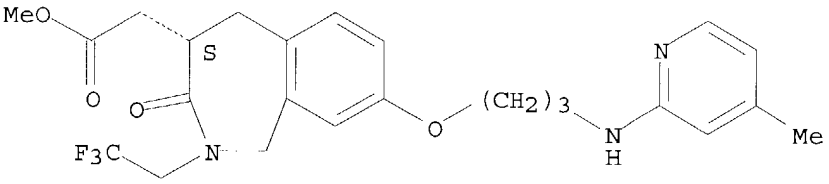
RN 205677-84-5 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-1-oxido-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



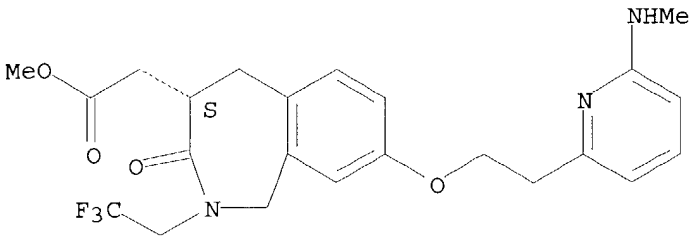
RN 205677-85-6 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[3-[(4-methyl-2-pyridinyl)amino]propoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 205677-86-7 USPATFULL
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, methyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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ACCESSION NUMBER: 2000:413243 BIOSIS
DOCUMENT NUMBER: PREV200000413243
TITLE: Rapid inhibition of thyroxine-induced bone resorption in the rat by an orally active vitronectin receptor antagonist.
AUTHOR(S): Hoffman, S. J. [Reprint author]; Vasko-Moser, J. [Reprint author]; Lark, M. W. [Reprint author]; Miller, W. [Reprint author]; Gowen, M. [Reprint author]; Stroup, G. B. [Reprint author]
CORPORATE SOURCE: SmithKline Beecham Pharmaceuticals, King of Prussia, PA, USA
SOURCE: Journal of Bone and Mineral Research, (September, 2000) Vol. 15, No. Suppl. 1, pp. S393. print.
Meeting Info.: Twenty-Second Annual Meeting of the American Society for Bone and Mineral Research. Toronto, Ontario, Canada. September 22-26, 2000. American Society for Bone and Mineral Research.
CODEN: JBMREJ. ISSN: 0884-0431.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 27 Sep 2000
Last Updated on STN: 8 Jan 2002
CONCEPT CODE: Bones, joints, fasciae, connective and adipose tissue - Pathology 18006
General biology - Symposia, transactions and proceedings 00520
Cytology - Animal 02506
Biochemistry studies - General 10060
Biochemistry studies - Proteins, peptides and amino acids 10064
Metabolism - Metabolic disorders 13020
Endocrine - Thyroid 17018
Bones, joints, fasciae, connective and adipose tissue - Physiology and biochemistry 18004
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Skeletal System (Movement and Support)
INDEX TERMS: Parts, Structures, & Systems of Organisms
osteoclast: skeletal system
INDEX TERMS: Diseases
metabolic bone diseases: bone disease, metabolic disease
Bone Diseases, Metabolic (MeSH)
INDEX TERMS: Chemicals & Biochemicals

SB 273005: orally active vitronectin receptor antagonist; thyroid hormone

INDEX TERMS: Miscellaneous Descriptors
bone mass; thyroxine-induced bone resorption: rapid inhibition; Meeting Abstract; Meeting Poster

ORGANISM: Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
rat
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

REGISTRY NUMBER: **205678-31-5** (SB 273005)

L13 ANSWER 15 OF 16 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN

ACCESSION NUMBER: 1999:439765 BIOSIS

DOCUMENT NUMBER: PREV199900439765

TITLE: The orally active vitronectin receptor antagonist, SB 273005, prevents trabecular bone loss in the ovariectomized (Ovx) rat.

AUTHOR(S): Lark, M. W. [Reprint author]; Stroup, G. B. [Reprint author]; Dodds, R. A. [Reprint author]; Kapadia, R. [Reprint author]; Hwang, S. [Reprint author]; James, I. E. [Reprint author]; Rieman, D. J. [Reprint author]; Liang, X. [Reprint author]; Ward, K. [Reprint author]; Smith, B. R. [Reprint author]

CORPORATE SOURCE: Bone and Cartilage Biology, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, USA

SOURCE: Journal of Bone and Mineral Research, (Sept., 1999) Vol. 14, No. SUPPL. 1, pp. S482. print.
Meeting Info.: Twenty-First Annual Meeting of the American Society for Bone and Mineral Research. St. Louis, Missouri, USA. September 30-October 4, 1999. American Society for Bone and Mineral Research.
CODEN: JBMREJ. ISSN: 0884-0431.

DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 18 Oct 1999
Last Updated on STN: 18 Oct 1999

CONCEPT CODE: Pharmacology - General 22002
Bones, joints, fasciae, connective and adipose tissue - General and methods 18001
General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060

INDEX TERMS: Major Concepts
Pharmacology; Skeletal System (Movement and Support)

INDEX TERMS: Chemicals & Biochemicals
SB 273005: orally active, vitronectin receptor antagonist

INDEX TERMS: Miscellaneous Descriptors
trabecular bone loss: prevention; Meeting Abstract

ORGANISM: Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
rat: animal model, ovariectomized
Taxa Notes

Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates
REGISTRY NUMBER: **205678-31-5** (SB 273005)

L13 ANSWER 16 OF 16 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 1999:538392 BIOSIS
DOCUMENT NUMBER: PREV199900538392
TITLE: Effect of SB 273005, an orally active, non-peptide alphavbeta3 vitronectin receptor (VNR) antagonist in the adjuvant arthritic (AA) rat.
AUTHOR(S): Badger, Alison [Reprint author]; Swift, Barbara [Reprint author]; Rieman, David [Reprint author]; Stroup, George [Reprint author]; Hoffman, Sandy [Reprint author]; Blake, Simon [Reprint author]; Newman-Tarr, Tonie [Reprint author]; Gowen, Maxine [Reprint author]; Lark, Michael [Reprint author]
CORPORATE SOURCE: King of Prussia, PA, USA
SOURCE: Arthritis and Rheumatism, (Sept., 1999) Vol. 42, No. 9 SUPPL., pp. S118. print.
Meeting Info.: 63rd Annual Scientific Meeting of the American College of Rheumatology and the 34th Annual Scientific Meeting of the Association of Rheumatology Health Professionals. Boston, Massachusetts, USA. November 13-17, 1999.
CODEN: ARHEAW. ISSN: 0004-3591.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 10 Dec 1999
Last Updated on STN: 10 Dec 1999
CONCEPT CODE: Pharmacology - Connective tissue, bone and collagen-acting drugs 22012
Metabolism - Carbohydrates 13004
Metabolism - Proteins, peptides and amino acids 13012
Bones, joints, fasciae, connective and adipose tissue - Pathology 18006
Pharmacology - Drug metabolism and metabolic stimulators 22003
General biology - Symposia, transactions and proceedings 00520
Routes of immunization, infection and therapy 22100
Laboratory animals - General 28002
Biochemistry studies - General 10060
Dental biology - General and methods 19001
Biochemistry studies - Proteins, peptides and amino acids 10064
Biochemistry studies - Carbohydrates 10068
Pathology - Inflammation and inflammatory disease 12508
INDEX TERMS: Major Concepts
Pharmacology; Skeletal System (Movement and Support)
INDEX TERMS: Diseases
adjuvant arthritis: joint disease, drug treatment
Arthritis, Experimental (MeSH)
INDEX TERMS: Chemicals & Biochemicals
SB-273005: antiarthritic-drug, alpha-v-beta-3 vitronectin receptor antagonist, oral administration
INDEX TERMS: Miscellaneous Descriptors
Meeting Abstract; Meeting Poster
ORGANISM: Classifier
Muridae 86375
Super Taxa

Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
rat: animal model
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates
REGISTRY NUMBER: **205678-31-5** (SB-273005)

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FILE 'REGISTRY' ENTERED AT 09:43:15 ON 13 JUL 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 JUL 2004 HIGHEST RN 708207-86-7
DICTIONARY FILE UPDATES: 11 JUL 2004 HIGHEST RN 708207-86-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

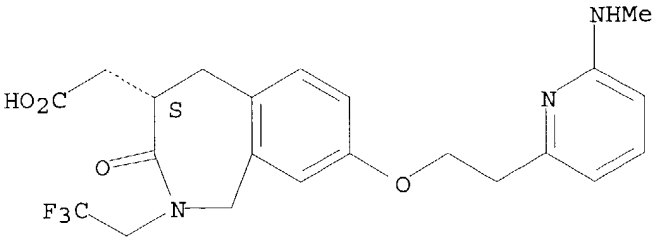
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L14 1 205678-31-5
(205678-31-5/RN)

=> d ide; fil hom

L14 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN **205678-31-5** REGISTRY
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (4S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-2-Benzazepine-4-acetic acid, 2,3,4,5-tetrahydro-8-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-, (S)-
OTHER NAMES:
CN SB 273005
FS STEREOSEARCH
MF C22 H24 F3 N3 O4
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, IMSDRUGNEWS, IMSRESEARCH, TOXCENTER, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

9 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
9 REFERENCES IN FILE CAPLUS (1907 TO DATE)

FILE 'HOME' ENTERED AT 09:43:21 ON 13 JUL 2004

10-691.241